(19) World Intellectual Property Organization

International Bureau





(43) International Publication Date 1 September 2005 (01.09.2005)

PCT

(10) International Publication Number WO 2005/080360 A1

- (51) International Patent Classification⁷: C07D 277/46, 417/12, 413/12, 405/12, 231/40, 285/12, 213/75, 241/20, 263/48, 417/04, 403/12, A61K 31/426, A61P 3/04, 3/06
- (21) International Application Number:

PCT/GB2005/000562

- (22) International Filing Date: 15 February 2005 (15.02.2005)
- (25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

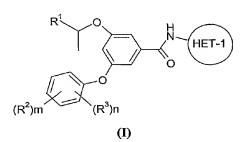
0403595.2 18 February 2004 (18.02.2004) GB 0413388.0 16 June 2004 (16.06.2004) GB

(71) Applicant (for AE, AG, AL, AM, AT, AU, AZ, BA, BB, BE, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CY, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, SZ, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW only): ASTRAZENECA AB [SE/SE]; S-SE-151 85 Sodertalje (SE).

- (71) Applicant (for MG only): ASTRAZENECA UK LIM-ITED [GB/GB]; 15 Stanhope Gate, London Greater London W1K 1LN (GB).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): JOHNSTONE, Craig [GB/GB]; AstraZeneca R & D Alderley, Alderley Park, Macclesfield Cheshire SK10 4TG (GB). MCK-ERRECHER, Darren [GB/GB]; AstraZeneca R & D Alderley, Alderley Park, Macclesfield Cheshire SK10 4TG (GB). PIKE, Kurt, Gordon [GB/GB]; AstraZeneca R & D Alderley, Alderley Park, Macclesfield Cheshire SK10 4TG (GB).
- (74) Agent: ASTRAZENECA; Global Intellectual Property, S-SE-151 85 Sodertalje (SE).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,

[Continued on next page]

(54) Title: COMPOUNDS



(57) Abstract: Compounds of Formula (I) wherein: R1 is methyl; R2 is selected from -C (O) NR4R5, SO2NR4R5, S (O) pR4 and HET-2; HET-1 is a 5- or 6-membered, optionally substituted C-linked heteroaryl ring; HET-2 is a 4-, 5- or 6-membered, C- or N-linked optionally substituted heterocyclyl ring; R3 is selected from halo, fluoromethyl, difluoromethyl, trifluoromethyl, methoxy and cyano; R4 is selected from for example hydrogen, optionally substituted (1-4C) alkyl and HET-2; R5 is hydrogen or (1-4C) alkyl; or R4 and R5 together with the nitrogen atom to which they are attached may form a heterocyclyl ring system as defined by HET-3; HET-3 is for example an optionally substituted N-linked, 4, 5 or 6 membered, saturated or partially unsaturated heterocyclyl ring; p is (independently at each occurrence) 0, 1 or 2; m is 0 or 1; n is 0, 1 or 2; provided that when m is 0, then n is 1 or 2; or a salt, pro drug or solvate thereof, are described. Their use as GLK activators, pharmaceutical compositions containing them and processes for their preparation are also described.

WO 2005/080360 A1



TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO,

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,

SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.